

540,335

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



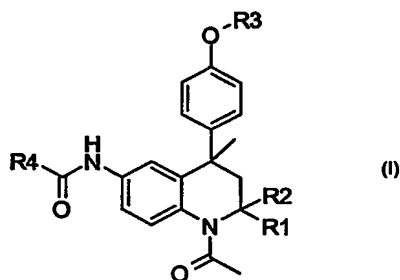
(43) International Publication Date  
8 July 2004 (08.07.2004)

PCT

(10) International Publication Number  
**WO 2004/056779 A2**

- (51) International Patent Classification<sup>7</sup>: **C07D 215/38** (74) Agent: VAN WEZENBEEK, P.M.G.F.; P.O. Box 20, NL-5340 BH Oss (NL).
- (21) International Application Number: PCT/EP2003/051024 (81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (22) International Filing Date: 16 December 2003 (16.12.2003) (84) Designated States (*regional*): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:  
60/435,479 20 December 2002 (20.12.2002) US  
02102865.9 20 December 2002 (20.12.2002) EP
- (71) Applicant (*for all designated States except US*): AKZO NOBEL N.V. [NL/NL]; Velperweg 76, NL-6824 BM Arnhem (NL).
- (72) Inventors; and
- (75) Inventors/Applicants (*for US only*): TIMMERS, Cornelis, Marius [NL/NL]; N.V. Organon, P.O. Box 20, NL-5340 BH Oss (NL). KARSTENS, Willem, Frederik, Johan [NL/NL]; N.V. Organon, P.O. Box 20, NL-5340 BH Oss (NL).
- Published:  
— *without international search report and to be republished upon receipt of that report*
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

(54) Title: TETRAHYDROQUINOLINE DERIVATIVES



(57) Abstract: The present invention relates to tetrahydroquinoline derivatives having general formula (I) or a pharmaceutically acceptable salt thereof, wherein R<sup>1</sup> and R<sup>2</sup> are H, Me; R<sup>3</sup> is (2-6C)heterocycloalkyl(1-4C)alkyl, (2-5C)heteroaryl(1-4C)alkyl, (6C)aryl(1-4C)alkyl, (1-4C)(di)alkylaminocarbonylamino(2-4C)alkyl, (2-6C) heterocycloalkylcarbonylamino(2-4C)alkyl, R<sup>5</sup>-(2-4C)alkyl or R<sup>5</sup>-carbonyl(1-4C)alkyl; R<sup>4</sup> is (2-5C)heteroaryl, (6C)aryl, (3-8C)cycloalkyl, (2-6C)heterocycloalkyl or (1-6C) alkyl and R<sup>5</sup> is (di)(1-4C)alkylamino, (1-4C)alkoxy, amino, hydroxy, (6C)arylamino, (di)(3-4C)alkenylamino, (2-5C)heteroaryl(1-4C)alkylamino, (6C)aryl(1-4C)alkylamino, (di)[(1-4C)alkoxy(2-4C)alkyl]amino, (di)[(1-4C)alkylamino(2-4C)alkyl]amino, (di)[amino(2-4C)alkyl]amino or (di)[hydroxy(2-4C)alkyl]amino. The present invention also relates to pharmaceutical compositions comprising said derivatives and the use of these derivatives to regulate fertility.

WO 2004/056779 A2